

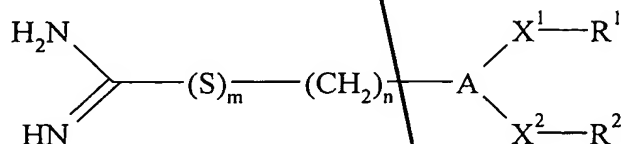
Claims

1. A liposome that includes a drug intended for the therapy and/or diagnosis, comprising as membrane constituents [1] a basic compound, [2] an acidic compound which is a phosphoric acid monoester derivative, or a compound having a carboxyl group or its salt, and [3] a liposome membrane constituent other than [1] and [2], that is accumulated at a diseased site at pH 5 to 7.

2. The liposome according to claim 1, wherein a molar ratio of said basic compound is 1 to 30 mol% of total liposome membrane constituents.

3. The liposome according to claim 1 or 2, wherein a molar ratio of said acidic compound is 0.5 to 30 mol% of total liposome membrane constituents.

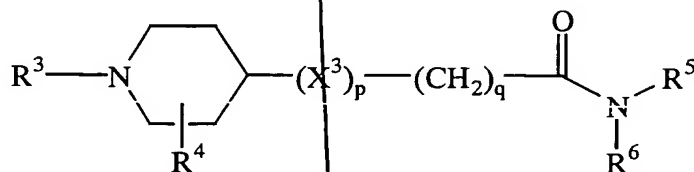
4. The liposome according to any one of claims 1 to 3, wherein said basic compound is represented by any one of the following Formulae 1 to 4:



Formula 1

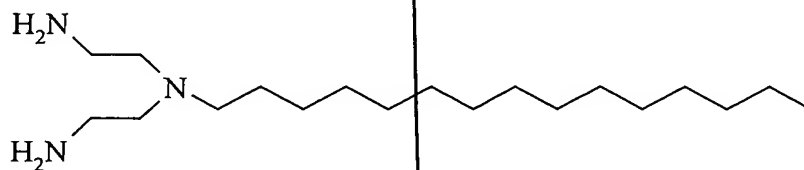
(in Formula 1, A represents an aromatic ring. R^1 and R^2

represent an alkyl group or alkenyl group having 10 to 25 carbon atoms, where R^1 and R^2 may be the same or different. X^1 and X^2 represent $-O-$, $-S-$, $-COO-$, $-OCO-$, $-CONH-$ or $NHCO-$, where X^1 and X^2 may be the same or different. m is 0 or 1, and n is 0 or an integer of 1 to 6.)

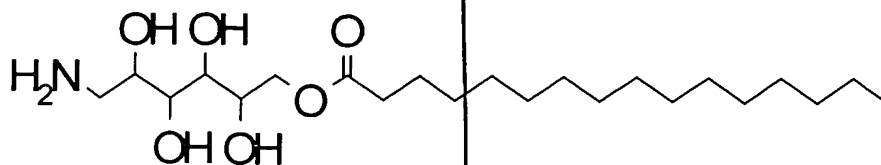


Formula 2

(in Formula 2, R^3 represents hydrogen or an alkyl group or alkenyl group having 1 to 8 carbon atoms. R^5 and R^6 represent hydrogen, or an alkyl group or alkenyl group having 1 to 25 carbon atoms, (except for the case where both R^5 and R^6 are hydrogen atoms), where R^5 and R^6 may be the same or different. X^3 represents $-O-$ or $-S-$. p is 0 or 1, and q is 0 or an integer of 1 to 10).



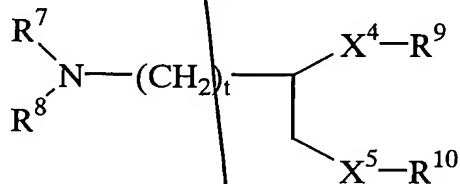
Formula 3



Formula 4

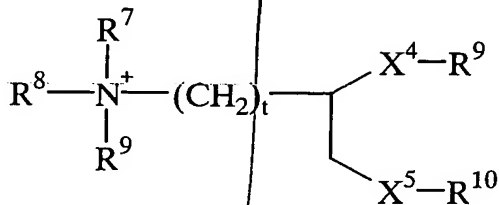
5. The liposome according to any one of claims 1 to 4, wherein said basic compound is a basic compound having a quaternary amine or a tertiary amine.

6. The liposome according to any one of claims 1 to 5, wherein said basic compound is selected from the group consisting of the following Formulae 5 and 6.



Formula 5

(in Formula 5, R^7 and R^8 represent an alkyl group or alkenyl group having 1 to 8 carbon atoms, where R^7 and R^8 may be the same or different. X^4 and X^5 represent $-\text{O}-$ or $-\text{OCO}-$, where X^4 and X^5 may be the same or different. R^9 and R^{10} represent an alkyl group or alkenyl group having 10 to 20 carbon atoms, where R^9 and R^{10} may be the same or different. t is an integer of 1 to 6.)



Formula 6

(in Formula 6, R^7 , R^8 and R^9 represent an alkyl group or

alkenyl group having 1 to 8 carbon atoms, where R^7 , R^8 and R^9 may be the same or different. X^4 and X^5 represent -O- or -OCO-, where X^4 and X^5 may be the same or different. R^9 and R^{10} represent an alkyl group or alkenyl group having 10 to 20 carbon atoms, where R^9 and R^{10} may be the same or different. t is an integer of 1 to 6.).

7. The liposome according to any one of claims 1 to 6, wherein said phosphoric acid monoester derivative is selected from predonisolone phosphate, riboflavin phosphate, and phosphatidic acid.

8. The liposome according to any one of claims 1 to 7, wherein said compound having a carboxyl group or its salt, is a fatty acid.

9. The liposome according to any one of claims 1 to 8, wherein said fatty acid is oleic acid, stearic acid, palmitic acid, or myristic acid.

10. The liposome according to any one of claims 1 to 9, wherein the liposome membrane constituent other than [1] and [2], is phospholipid or its derivative, and/or sterol or its derivative.

11. The liposome according to any one of claims 1 to 10, wherein said drug intended for the therapy and/or diagnosis is an anti-cancer agent, an antibiotic, an enzyme agent, an enzyme inhibitor, an antioxidant, a lipid uptake inhibitor, a hormone agent, an anti-inflammatory agent, a steroid agent, a vasodilator, an angiotensin converting enzyme inhibitor, an angiotensin receptor antagonist, a growth/migration inhibitor for smooth muscle cells, a platelet aggregation inhibitor, an anticoagulant, a chemical mediator releasing inhibitor, a vascular endothelial cell growth or suppressing agent, an aldose reductase inhibitor, a mesangium cell growth inhibitor, a lipoxxygenase inhibitor, an immunosuppressor, an immunoactivator, an antiviral agent, a Maillard reaction inhibitor, an amyloidosis inhibitor, an NOS inhibitor, an AGEs inhibitor, or a radical scavenger.

12. The liposome according to any one of claims 1 to 10, wherein said drug intended for the therapy and/or diagnosis is a nucleic acid, a polynucleotide, a gene and its analogue.

13. The liposome according to any one of claims 1 to 10,

wherein said drug intended for the therapy and/or diagnosis is glycosaminoglycan and its derivative.

14. The liposome according to any one of claims 1 to 10, wherein said drug intended for the therapy and/or diagnosis is oligo- and/or polysaccharide, and derivative thereof.

15. The liposome according to any one of claims 1 to 10, wherein said drug intended for the therapy and/or diagnosis is protein or peptide.

16. The liposome according to any one of claims 1 to 10, wherein said drug intended for the therapy and/or diagnosis is an intracorporeal diagnostic drug such as an X-ray contrasting medium, a radiolabeled nuclear medicinal diagnostic drug, or a nuclear magnetic resonance diagnostic drug for diagnosis.

17. A method of increasing a ratio of accumulation of liposome at a diseased site, comprising adding to a liposome membrane constituent including a drug for a therapy and/or diagnosis [1] a basic compound and [2] an acidic compound, which is a phosphoric acid monoester derivative, or a compound having a carboxyl group or its

salt, such that a ratio of an adsorption amount of chondroitin sulfate C to liposome in a phosphate buffer of pH 6.5 to that in a phosphate buffer of pH 7.4 is at least 1.5.

18. A method for the therapy and/or diagnosis, comprising administering to an animal including a human a liposome including a drug intended for the therapy and/or diagnosis comprising as liposome membrane constituents [1] a basic compound, [2] an acidic compound, which is a phosphoric acid monoester derivative, or a compound having a carboxyl group or its salt, and [3] a liposome membrane constituent other than [1] and [2] to accumulate it at a diseased site at a pH of 5 to 7.

19. A method of using a liposome including a drug intended for the therapy and/or diagnosis comprising as liposome membrane constituents [1] a basic compound, [2] an acidic compound, which is a phosphoric acid monoester derivative, or a compound having a carboxyl group or its salt, and [3] a liposome membrane constituent other than [1] and [2] for accumulating the drug intended for the therapy and/or diagnosis at a diseased site at a pH of 5 to 7.